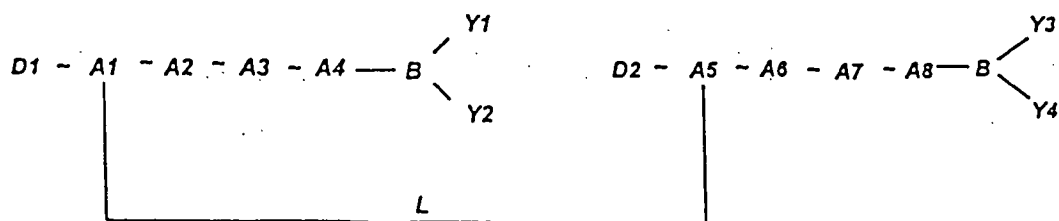


What is claimed is:

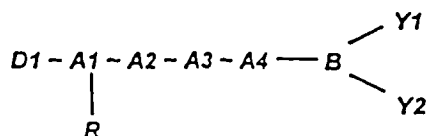
- 1 1. A compound, having the structure



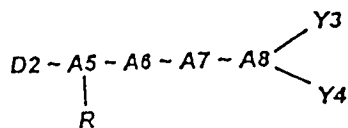
- 2 wherein D1 and D2, independently, are selected from the  
3 group consisting of NH and NH<sub>2</sub>, wherein N represents any  
4 isotope of nitrogen, wherein H represents any isotope of  
5 hydrogen; "~", independently, is selected from the group  
6 consisting of a single bond and a double bond; B represents,  
7 independently, any isotope of boron; A1 and A5 are,  
8 independently, selected from a group consisting of a C, a CX  
9 moiety and an N, wherein C represents any isotope of carbon,  
10 wherein X represents any atom capable of forming a single  
11 bond with C; each A2, A3, A4, A6, A7, and A8 are,  
12 independently, selected from a group consisting of a CX  
13 moiety, a CXZ moiety, a CZ moiety, an NX moiety, and an O,  
14 wherein X and Z, are, independently, selected from the group  
15 consisting of any atom capable of forming a single bond and  
16 any atom capable of forming a double bond with C or N and  
17 wherein O represents any isotope of oxygen; wherein each Y1,  
18 Y2, Y3, and Y4 are, independently, selected from the group  
19 consisting of a hydroxyl moiety and any reactive moiety that  
20 converts to a hydroxyl moiety under physiologic conditions;  
21 and L represents a linker molecule (i) having a molecular  
22 weight ranging between about 100 daltons and about 2000  
23 daltons, (ii) having a span ranging from about 20 Å to about

24 300 Å, and (iii) containing a chain of atoms selected from  
 25 the group consisting of a combination of C, O, N, S, and Ph  
 26 atoms, connected by single bonds or by double bonds in a  
 27 manner that does not violate the laws of chemistry and  
 28 wherein S represents any isotope of sulfur and Ph represents  
 29 any isotope of phosphorous.

1 2. The compound of claim 1 wherein the following  
 2 structures



and

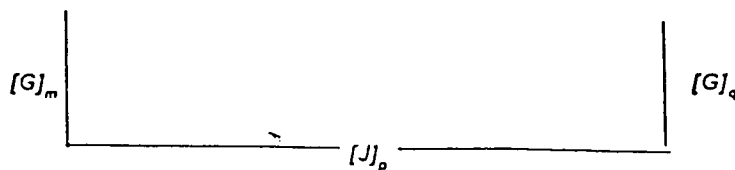


3 represent, independently, a binding moiety, wherein R  
 4 represents the remainder of the molecule.

1 3. The compound of claim 2 wherein there are 4 atoms  
 2 positioned between the group consisting of D1 and D2 and B  
 3 of the binding moiety.

///

- 1 4. The compound of claim 2 wherein the binding moiety  
2 is in an L-configuration.
- 1 5. The compound of claim 1 wherein Y1, Y2, Y3, and Y4  
2 are hydroxyl groups.
- 1 6. The compound of claim 1 wherein the A4 bonded to the  
2 B is in the L-configuration and the A5 bonded to the B is in  
3 the L-configuration.
- 1 7. The compound of claim 2 wherein the binding moiety  
2 is an L-amino acid residue conjugated to B, a boron  
3 molecule.
- 1 8. The compound of claim 2 wherein the binding moiety  
2 is selected from the group consisting of L-Lys-L-boroPro and  
3 a derivative of L-Lys-L-boroPro.
- 1 9. The compound of claim 1 wherein the linker molecule  
2 contains a functional group selected from the group  
3 consisting of a carboxylate group, an amino group, a  
4 sulfhydryl group, an imidazole group, an alkene group, an  
5 acyl halogen group, and CH<sub>2</sub>X, wherein X represents a  
6 halogen.
- 1 10. The compound of claim 1 wherein the linker molecule  
2 is further defined as having the following structure:



3 wherein [G] is selected from the group consisting of a  
4 carbon, nitrogen, oxygen, hydrogen and a sulfur atom; [J] is  
5 selected from the group consisting of a CH<sub>2</sub> molecule, a  
6 chain of carbon atoms, a chain of nitrogen atoms, and a  
7 chain of oxygen atoms; and m, p, and q represent an integer  
8 from 1 to 50, inclusive.

1 11. The compound of claim 10 wherein [G] is an R group  
2 selected from the group consisting of L-amino acid residues  
3 selected from the group consisting of lysine, cysteine,  
4 glutamic acid, aspartic acid, histidine, arginine,  
5 glutamine, and asparagine and D-amino acid residues selected  
6 from the group consisting of lysine, cysteine, glutamic  
7 acid, aspartic acid, histidine, arginine, glutamine, and  
8 asparagine.

1 12. The compound of claim 1 wherein the linker molecule  
2 is selected from the group consisting of hexanedioic acid  
3 (adipic acid), EGS, 1,4-diaminobutane, 1,4-dithiobutane,  
4 dithiothreitol, lysine, cysteine, glutamic acid, aspartic  
5 acid, histidine, arginine, glutamine, and asparagine.

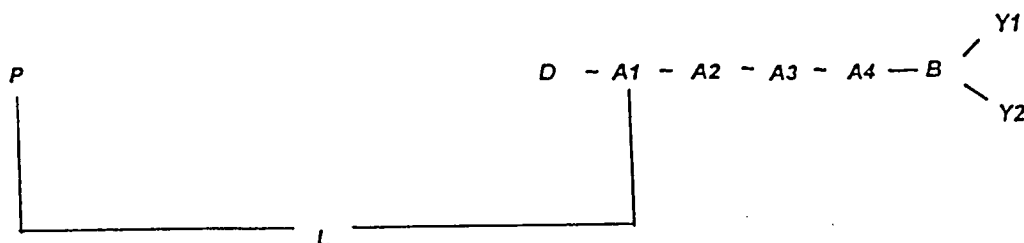
1 13. The compound of claim 1 wherein the linker molecule  
2 contains at least two amino groups when the binding moieties  
3 contain glutamic acid residues.

1 14. The compound of claim 1 wherein the linker molecule  
2 contains at least two amino groups when the binding moieties  
3 contain aspartic acid residues.

1 15. The compound of claim 1 wherein the linker molecule  
2 contains at least two sulfhydryl groups when the binding  
3 moieties contain cysteine residues.

1 16. The compound of claim 1 wherein the linker molecule  
2 span ranges from about 30 Å to about 100 Å.

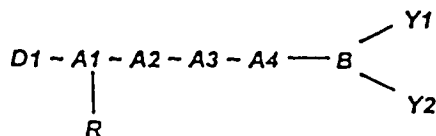
1 17. A compound, having the structure



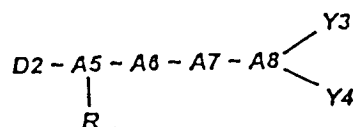
2 wherein D is independently selected from the group  
3 consisting of NH and NE<sub>2</sub>, wherein N represents any isotope  
4 of nitrogen, wherein H represents any isotope of hydrogen;  
5 "-", independently, is selected from the group consisting of  
6 a single bond and a double bond; B represents,  
7 independently, any isotope of boron; A1 is, independently,  
8 selected from the group consisting of a C, a CX moiety and  
9 an N, wherein C represents any isotope of carbon, wherein X  
10 represents any atom capable of forming a single bond with C;  
11 each A2, A3, and A4 are, independently, selected from the  
12 group consisting of a CX moiety, a CXZ moiety, a CZ moiety,  
13 an NX moiety, and an O, wherein X and Z, independently, are  
14 selected from the group consisting of any atom capable of

15 forming a single bond and any atom capable of forming a  
16 double bond with C or N and wherein O represents any isotope  
17 of oxygen; wherein each Y1 and Y2 are, independently,  
18 selected from the group consisting of a hydroxyl moiety and  
19 any reactive moiety that converts to a hydroxyl moiety under  
20 physiologic conditions; L represents a linker molecule (i)  
21 having a molecular weight ranging between about 100 daltons  
22 and about 2000 daltons, (ii) having a span ranging from  
23 about 20 Å to about 300 Å, and (iii) containing a chain of  
24 atoms selected from the group consisting of a combination of  
25 C, O, N, S, and Ph atoms, connected by single bonds or by  
26 double bonds in a manner that does not violate the laws of  
27 chemistry and wherein S represents any isotope of sulfur and  
28 Ph represents any isotope of phosphorous; and P represents a  
29 peptide ranging from 3 to 30 amino acids having sufficient  
30 sequence homology to bind to a naturally occurring receptor.

1 18. The compound of claim 17 wherein the following  
2 structures



and



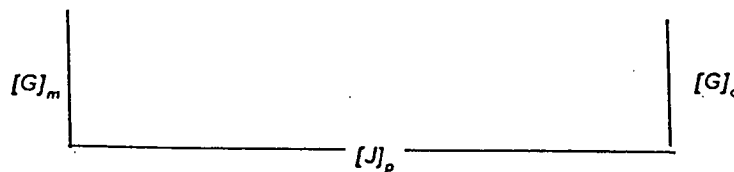
3 represent, independently, a binding moiety, wherein R  
4 represents the remainder of the molecule.

1 19. The compound of claim 18 wherein there are 4 atoms  
2 positioned between D and B of the binding moiety.

1 20. The compound of claim 18 wherein the binding moiety  
2 is in an L-configuration.

1 21. The compound of claim 17 wherein Y1 and Y2 are.  
2 hydroxyl groups.

- 1 22. The compound of claim 17 wherein the A4 bonded to  
2 the B is in the L-configuration.
- 1 23. The compound of claim 18 wherein the binding moiety  
2 is an L-amino acid residue conjugated to B, a boron  
3 molecule.
- 1 24. The compound of claim 18 wherein the binding moiety  
2 is selected from the group consisting of L-Lys-L-boroPro and  
3 a derivative of L-Lys-L-boroPro.
- 1 25. The compound of claim 17 wherein the linker molecule  
2 contains a functional group selected from the group  
3 consisting of a carboxylate group, an amino group, a  
4 sulfhydryl group, an imidazole group, an alkene group, an  
5 acyl halogen group, and  $\text{CH}_2\text{X}$ , wherein X represents a  
6 halogen.
- 1 26. The compound of claim 17 wherein the linker molecule  
2 is further defined as having the following structure:





3 wherein [G] is selected from the group consisting of a  
4 carbon, nitrogen, oxygen, hydrogen and a sulfur atom; [J] is  
5 selected from the group consisting of a CH<sub>2</sub> molecule, a  
6 chain of carbon atoms, a chain of nitrogen atoms, and a  
7 chain of oxygen atoms; and m, p, and q represent an integer  
8 from 1 to 50, inclusive.

1 27. The compound of claim 26 wherein [G] is an R group  
2 selected from the group consisting of L-amino acid residues  
3 selected from the group consisting of lysine, cysteine,  
4 glutamic acid, aspartic acid, histidine, arginine,  
5 glutamine, and asparagine and D-amino acid residues selected  
6 from the group consisting of lysine, cysteine, glutamic  
7 acid, aspartic acid, histidine, arginine, glutamine, and  
8 asparagine.

1 28. The compound of claim 17 wherein the linker molecule  
2 is selected from the group consisting of adipic acid,  
3 between 2 and 15 consecutive amino acid residues, 1,4-  
4 diaminobutane, 1,4-dithiobutane, and dithiothreitol.

1 29. The compound of claim 17 wherein the linker molecule  
2 span ranges from about 30 Å to about 100 Å.

1 30. The compound of claim 17 wherein the peptide ranges  
2 from about 7 to 25 amino acids.

1 31. The compound of claim 17 wherein the peptide is  
2 selected from the group consisting of:

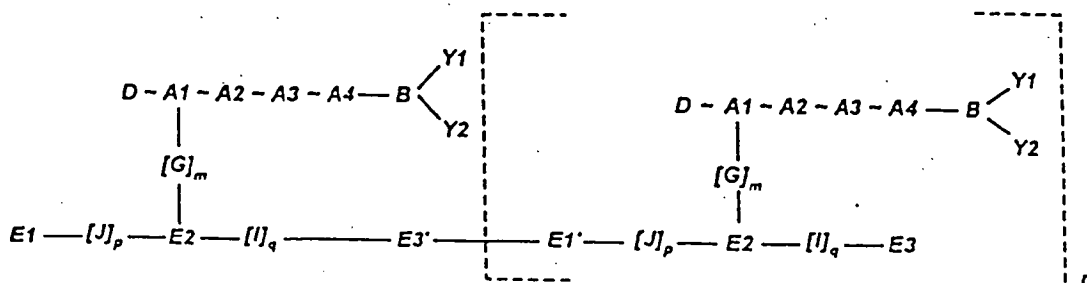
- 3 a) Myelin proteolipid protein peptide;
- 4 b) Moth cytochrome C peptide;
- 5 c) tetanus toxin;
- 6 d) HIV-1 GP 120 peptide;
- 7 e) myelin basic protein; and
- 8 f) HIV-1 GP 120 peptide.

1 32. The compound of claim 31 wherein the Myelin  
2 proteolipid protein peptide is selected from the group  
3 consisting of PLP peptide 139-151 and PLP peptide 190-209,  
4 the Moth cytochrome C peptide is peptide MCC 94-103, the  
5 myelin basic protein peptide is MBP peptide 1-11, and the  
6 tetanus toxin peptide is selected from the group consisting  
7 of tetanus toxoid peptide and P2 tetanus toxoid peptide. --

1 33. The compound of claim 17 wherein the naturally  
2 occurring receptor is a T cell surface receptor.

1 34. The compound of claim 33 wherein the T cell surface  
2 receptor is selected from the group consisting of TCR/C3,  
3 CD4, CD8, CD10, CD26, CD28, and CD45.

1 35. A compound, having the structure



2 wherein D is, independently, selected from the group  
 3 consisting of NH and NH<sub>2</sub>, wherein N represents any isotope  
 4 of nitrogen, wherein H represents any isotope of hydrogen;  
 5 "~" is, independently, selected from the group consisting of  
 6 a single bond and a double bond; B represents,  
 7 independently, any isotope of boron; A1 is, independently,  
 8 selected from the group consisting of a C, a CX moiety and  
 9 an N, wherein C represents any isotope of carbon, wherein X  
 10 represents any atom capable of forming a single bond with C;  
 11 each A2, A3, and A4 are, independently, selected from the  
 12 group consisting of a CX moiety, a CXZ moiety, a CZ moiety,  
 13 an NX moiety, and an O, wherein X and Z, independently, are  
 14 selected from the group consisting of any atom capable of

15 forming a single bond and any atom capable of forming a  
16 double bond with C or N and wherein O represents any isotope  
17 of oxygen; wherein each Y1 and Y2 are, independently,  
18 selected from the group consisting of a hydroxyl moiety and  
19 any reactive moiety that converts to a hydroxyl moiety under  
20 physiologic conditions; n represents an integer between 1  
21 and 200, inclusive;

22 wherein E1 and E3 are distinct reactive species in which:

- 23 (a) R and R' comprise the remainder of the  
24 molecules not relevant to this reaction;
- 25 (b) E1 is attached to R' by a covalent bond which  
26 are together designated as E1-R' or R'-E1;
- 27 (c) E3 is attached to R by a covalent bond which  
28 are together designated as E3-R or R-E3;
- 29 (d) R' represents the part of E1-R' not undergoing  
30 a chemical reaction;
- 31 (e) R represents the part of R-E3 not undergoing a  
32 chemical reaction;
- 33 (f) E1 undergoes a chemical reaction with E3 to  
34 form the product E1'-E3' and a byproduct F,  
35 wherein F is selected from the group consisting  
36 of  $2H^+$  and  $2e^-$ ,  $H_2O$ , and any other byproduct;
- 37 (g) where  $H^+$  is the cation of any isotope of  
38 hydrogen and  $e^-$  is an electron;
- 39 (h) where H represents any isotope of hydrogen and  
40 O represents any isotope of oxygen;
- 41 (i) where E1' and E3' are covalently bonded;
- 42 (j) E1 does not undergo a chemical reaction with  
43 another E1;
- 44 (k) E3 does not undergo a chemical reaction with  
45 another E3; and

46 (1) E1 and E3 are selected from the group  
47 consisting of a carboxylate, amino, imidazole,  
48 sulfhydryl, aldehyde, ester, and any other  
49 reactive species;

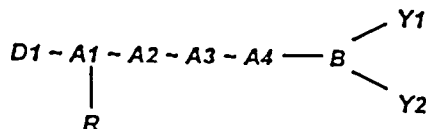
50 wherein [J]<sub>p</sub>, E2, [I]<sub>q</sub> and [G]<sub>m</sub> together comprise a linker  
51 moiety, and wherein [G]<sub>m</sub>, [J]<sub>p</sub>, and [I]<sub>q</sub> represent,  
52 independently, linker molecules (i) having a molecular  
53 weight ranging between about 100 daltons and about 2000  
54 daltons, (ii) having a span ranging from about 20 Å to about  
55 300 Å, and (iii) containing a chain of atoms selected from  
56 the group consisting of a combination of C, O, N, S, and Ph  
57 atoms, connected by single bonds or by double bonds in a  
58 manner that does not violate the laws of chemistry and  
59 wherein S represents any isotope of sulfur and Ph represents  
60 any isotope of phosphorous; and wherein m, p, and q  
61 represent, independently, an integer from 1 to 50,  
62 inclusive;

63 and wherein E2 is selected from the group consisting of CX,  
64 CH, N, PhYZ, PhU, and any other moiety capable of forming  
65 covalent bonds with [J]<sub>p</sub>, [G]<sub>m</sub>, and [I]<sub>q</sub> and wherein:

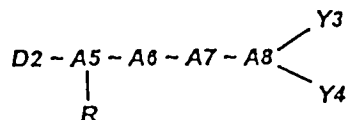
66 (a) C is any isotope of carbon;  
67 (b) X is any isotope of any atom capable of forming  
68 a single bond with carbon;  
69 (c) H is any isotope of hydrogen;  
70 (d) N is any isotope of nitrogen;  
71 (e) Ph is any isotope of phosphorous;  
72 (f) Y is any isotope of any atom capable of forming  
73 a single bond with phosphorous;  
74 (g) Z is any isotope of any atom capable of forming  
75 a single bond with phosphorous; and

76 (h) U is any isotope of any atom capable of forming  
77 a double bond with phosphorous.

1 36. The compound of claim 17 wherein the following  
2 structures



and



3 represent, independently, a binding moiety, wherein R  
4 represents the remainder of the molecule.

1 37. The compound of claim 35 wherein (a) [G]<sub>m</sub> is the  
2 side chain of a D- or L- isomer of lysine, cysteine,  
3 glutamic acid, aspartic acid, histidine, arginine,  
4 glutamine, and asparagine; (b) E<sub>2</sub> is D- or L- isomer of  
5 lysine, cysteine, glutamic acid, aspartic acid, histidine,  
6 arginine, glutamine, and asparagine; (c) E<sub>1</sub> and E<sub>3</sub> are

selected from the group consisting of an amino moiety and a carboxylic acid moiety; and (d) E1 and E3 are distinct from each other.

38. The compound of claim 35 wherein (a) [G]<sub>m</sub> is the side chain of a D- or L- isomer of lysine, cysteine, glutamic acid, aspartic acid, histidine, arginine, glutamine, and asparagine; (b) E2 is selected from the group consisting of 2-carboxybutyl, 2-carboxypropyl, 2-aminobutyl, 2-aminopropyl, and a hydrocarbon chain with an amino or carboxy side chain; (c) [J]<sub>p</sub> and [I]<sub>q</sub> represent, independently, hydrocarbon chains; (d) E1 and E3 are selected from the group consisting of an amino moiety and a carboxylic acid moiety; and (e) E1 and E3 are distinct from each other.

39. A method for stimulating activation or proliferation of human CD26-bearing lymphocytes, said method comprising contacting said lymphocytes with a proliferation or activation-inducing concentration of the compound of any of claims 1, 17, or 35.

5           40. The method of claim 39, wherein said contacting is carried out by administering said compound to a human patient suffering from a disease state characterized by inadequate lymphocyte activation or concentration.

41. The method of claim 40, wherein said disease state is caused by HIV infection.

10           42. The method of claim 40, wherein said compound is administered in conjunction with a second, different agent which stimulates activation or proliferation of said lymphocytes.

43. The method of claim 40, wherein said compound is administered orally.

15           44. The method of claim 39, wherein said contacting of lymphocytes with said compound is carried out *in vitro*.

45. The method of claim 40, wherein said disease state is a neoplasm, and said CD26-bearing lymphocytes are cytolytic T cells.

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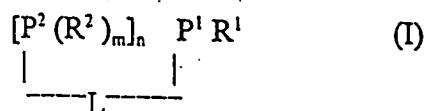


46. The method of claim 40, wherein said patient is suffering from side effects of chemotherapy, one of which side effects being depletion of lymphocytes.

47. The method of claim 40, wherein said patient suffers from kidney failure resulting in depletion of lymphocytes.

5           48. The method of claim 40, wherein said patient suffers from a bone marrow disorder resulting in lymphocyte depletion.

49. A compound having the formula I:



wherein  $P^1$  represents a first targeting moiety that mimics the substrate binding site of a protease expressed on the surface of a cell involved in immune system modulation;

$R^1$  represents a reactive group that reacts with a functional group in the reactive center of the protease;

$P^2$  represents a second targeting moiety that may be the same or different from the first targeting moiety;

$R^2$  represents a second reactive group that may be the same or different from the first reactive group;

$m = 0$  or  $1$  and  $n =$  a whole number from  $1$  to  $10$ .

50. The compound of claim 49, wherein  $P^2 = P^1$  and  $R^2$  is absent or is different from  $R^1$ .

51. The compound of claim 49, wherein  $P^1$  selectively binds to a DP IV on a first cell and  $P^2$  selectively binds to a major histocompatibility molecule on an antigen presenting cell.

52. A vaccine comprising the compound of claim 51.

53. A pharmaceutical composition comprising the compound of claims 1, 17, 35 or 49, in a pharmaceutically acceptable carrier.

54. A method for manufacturing a pharmaceutical composition comprising:  
placing the compound of claims 1, 17, 35, or 49 in a pharmaceutically acceptable carrier.

55. The method of claim 39, wherein administering comprises obtaining the T cells, bone marrow cells, stem cells or early lineage progenitor cells from the subject, contacting the isolated T cells with the compound ex vivo in an amount effective to stimulate the T cells, and reintroducing the T cells to the subject.

56. A method for treating an autoimmune condition comprising:  
administering the compound of claims 1, 17, 35, or 49 to a subject in need of such treatment in an amount effective to inhibit the autoimmune condition in the subject